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* * * * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 JAN 02 STN pricing information for 2008 now available
NEWS 3 JAN 16 CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 4 JAN 28 USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 5 JAN 28 MARPAT searching enhanced
NEWS 6 JAN 28 USGENE now provides USPTO sequence data within 3 days of publication
NEWS 7 JAN 28 TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 8 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements
NEWS 9 FEB 08 STN Express, Version 8.3, now available
NEWS 10 FEB 20 PCI now available as a replacement to DPCI
NEWS 11 FEB 25 IFIREF reloaded with enhancements
NEWS 12 FEB 25 IMSPRODUCT reloaded with enhancements
NEWS 13 FEB 29 WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS 14 MAR 31 IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS 15 MAR 31 CAS REGISTRY enhanced with additional experimental spectra
NEWS 16 MAR 31 CA/Caplus and CASREACT patent number format for U.S. applications updated
NEWS 17 MAR 31 LPCI now available as a replacement to LDPCI
NEWS 18 MAR 31 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 19 APR 04 STN AnaVist, Version 1, to be discontinued
NEWS 20 APR 15 WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS 21 APR 28 EMBASE Controlled Term thesaurus enhanced
NEWS 22 APR 28 IMSRESEARCH reloaded with enhancements

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

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NEWS IPC8 For general information regarding STN implementation of IPC 8

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* * * * * * * * * * * * * * * * STN Columbus *

FILE 'HOME' ENTERED AT 09:59:05 ON 12 MAY 2008

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=> file registry
COST IN U.S. DOLLARS          SINCE FILE      TOTAL
                                ENTRY        SESSION
FULL ESTIMATED COST          0.21          0.21

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FILE 'REGISTRY' ENTERED AT 09:59:15 ON 12 MAY 2008
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STRUCTURE FILE UPDATES: 11 MAY 2008 HIGHEST RN 1020256-26-1
DICTIONARY FILE UPDATES: 11 MAY 2008 HIGHEST RN 1020256-26-1

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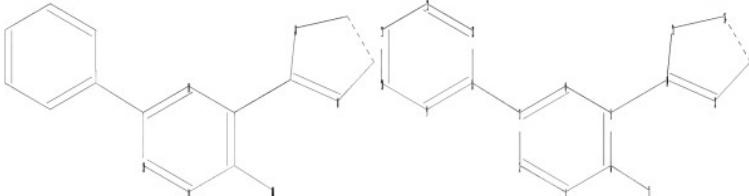
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stnqgen/stndoc/properties.html>

=> Uploading C:\Program Files\Stnexp\Queries\10 series\10549972\10549972b.str



chain nodes :

7

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18

chain bonds :

3-8 5-14 6-7

ring bonds:

1=2 1=6 2=3

15=16 16=17 17=18

exact/norm bonds : 1

exact/num bonds :
6-7 14-15 14-18

exact bands.

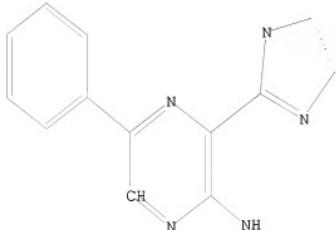
exact bonus :

3-8 5-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13
isolated ring systems :
containing 8 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom

L1 STRUCTURE UPLOADED

=> d 11
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 80 TO ITERATE

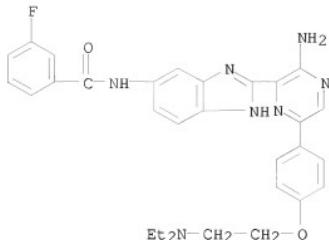
100.0% PROCESSED 80 ITERATIONS 7 ANSWERS
SEARCH TIME: 00:00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1064 TO 2136
PROJECTED ANSWERS: 7 TO 298

L2 7 SEA SSS SAM L1

=> d scan

L2 7 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Benzamide, N-[2-[3-amino-6-[4-[2-(diethylamino)ethoxy]phenyl]-2-pyrazinyl]-1H-benzimidazol-6-yl]-3-fluoro-
MF C30 H30 F N7 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

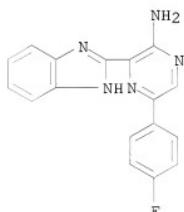
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 FULL SCREEN SEARCH COMPLETED - 1456 TO ITERATE

100.0% PROCESSED 1456 ITERATIONS 80 ANSWERS
 SEARCH TIME: 00.00.01

L3 80 SEA SSS FUL L1

=> d scan

L3 80 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
 IN 2-Pyrazinamine, 3-(1H-benzimidazol-2-yl)-5-(4-fluorophenyl)-
 MF C17 H12 F N5



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus COST IN U.S. DOLLARS SINCE FILE TOTAL

FULL ESTIMATED COST

ENTRY SESSION
178.82 179.03

FILE 'CAPLUS' ENTERED AT 10:00:10 ON 12 MAY 2008
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FILE COVERS 1907 - 12 May 2008 VOL 148 ISS 20
FILE LAST UPDATED: 11 May 2008 (20080511/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

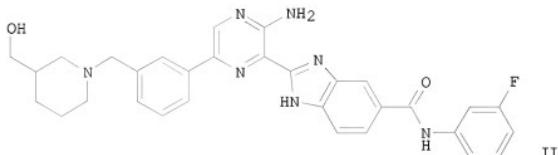
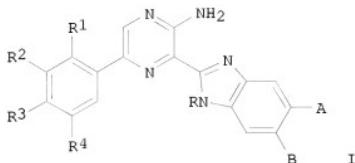
<http://www.cas.org/infopolicy.html>

=> s 13
L4 4 L3

=> d 14 1-4 ibib abs hitstr

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:410663 CAPLUS
DOCUMENT NUMBER: 148:403249
TITLE: Preparation of pyrazine derivatives as Aurora kinase A and/or B inhibitors
INVENTOR(S): Walmsley, Lee David; Drysdale, Martin James; Chen, Ijen
PATENT ASSIGNEE(S): Vernalis (R & D) Limited, UK
SOURCE: PCT Int. Appl., 55pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2008038010 | A1 | 20080403 | WO 2007-GB3687 | 20070928 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |



AB Title compds. represented by the formula I [wherein R1-R5 = independently H, OH, alkyl, etc.; R = H or alkyl; A, B = H or -Z-Ar; Z = -C(=O)-NH-, -NH-C(=O)-, -C(=O)-N-(CH3)- or -N-(CH3)-C(=O)-; Ar = (un)substituted (hetero)aryl; and pharmaceutically acceptable salts, hydrates or solvates thereof] were prepared as inhibitors of Aurora kinase A and/or B. For example, II was provided in a multi-step synthesis starting from the reaction of Me 3-amino-6-bromopyrazine-2-carboxylate with 3-(hydroxymethyl)benzoic acid. I were tested for cellular responses to Aurora inhibition and flow cytometry assay. Thus, I and their pharmaceutical compns. are useful as inhibitors of Aurora kinase A and/or B for the treatment of the condition responsive to inhibition of Aurora Kinase activity is a hyperproliferative disease such as cancer.

IT 1015728-79-6P, 2-[3-Amino-6-[3-[(3-hydroxymethylpiperidin-1-yl)methyl]phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide 1015728-87-6P, 2-[3-Amino-6-[3-[(ethyl(2-hydroxyethyl)amino)methyl]phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide 1015728-95-6P, 2-[3-Amino-6-[3-[(piperidin-1-yl)methyl]phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide 1015729-34-6P, 2-[3-Amino-6-[3-[(2-[ethyl(2-hydroxyethyl)amino]ethyl)phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide 1015729-42-6P, 2-[3-Amino-6-[3-[2-(4-hydroxymethylpiperidin-1-yl)ethyl]phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide 1015729-50-6P, 2-[3-Amino-6-[3-[2-(3-hydroxymethylpiperidin-1-yl)ethyl]phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide 1015729-58-4P 1015729-66-4P 1015729-73-3P 1015729-81-3P 1015729-89-1P 1015729-97-1P 1015730-05-8P 1015730-21-8P 1015730-28-5P 1015730-35-4P 1015730-43-4P 1015730-50-3P 1015730-57-0P 1015730-65-0P 1015730-81-0P 1015730-89-8P 1015730-97-8P 1015731-05-1P 1015731-13-1P 1015731-20-0P 1015731-27-7P 1015731-35-7P 1015731-43-7P

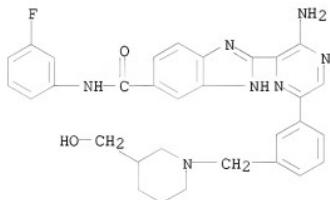
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1015733-10-4P 1015733-18-2P 1015733-26-2P
1015733-34-2P 1015733-42-2P 1015733-57-9P
1015750-03-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazine derivs. as Aurora kinase A and/or B inhibitors)

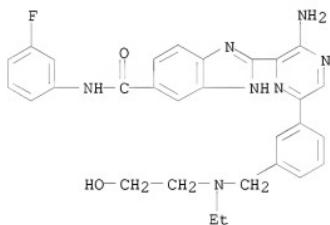
RN 1015728-79-6 CAPLUS

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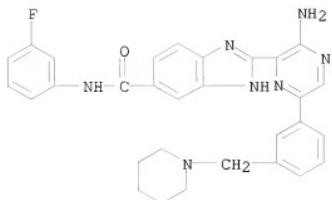
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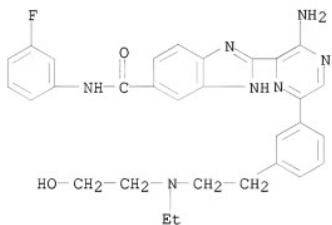


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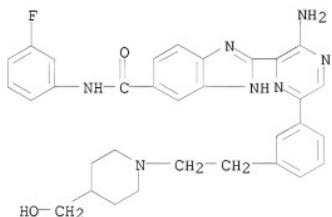
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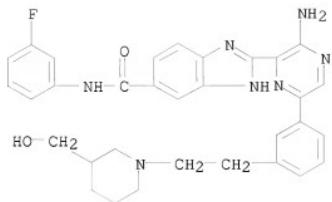
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RN 1015729-42-6 CAPLUS
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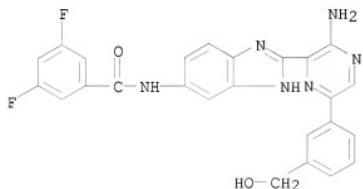


RN 1015729-50-6 CAPLUS
CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-[3-[2-[3-(hydroxymethyl)-1-piperidinyl]ethyl]phenyl]-2-pyrazinyl]-N-(3-fluorophenyl)- (CA INDEX NAME)



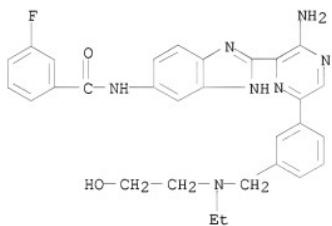
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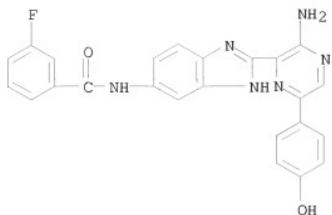
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CN INDEX NAME NOT YET ASSIGNED

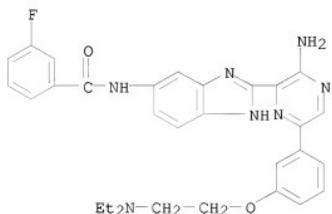


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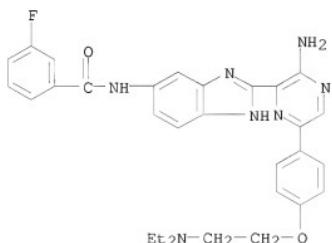
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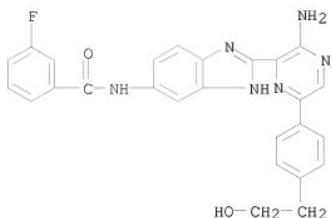
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RN 1015729-89-1 CAPLUS
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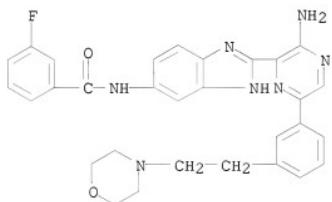


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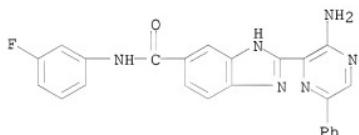
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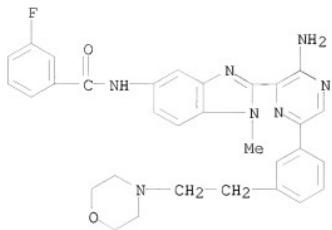
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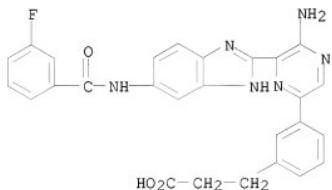
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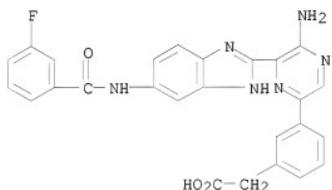
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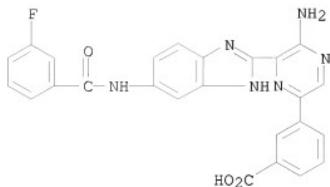
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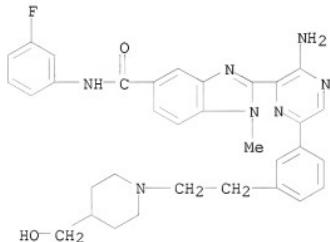


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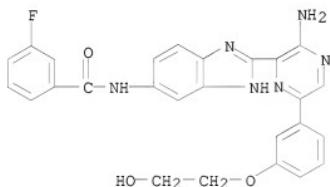
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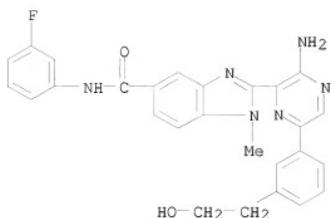
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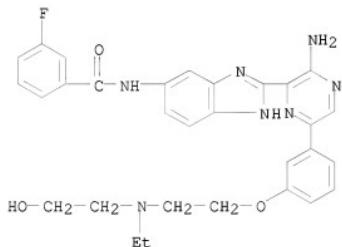
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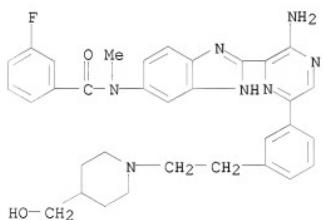
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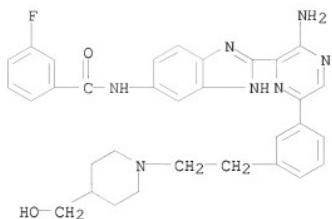
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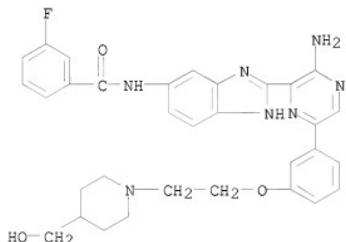
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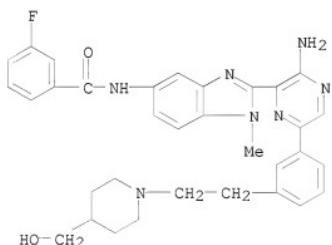
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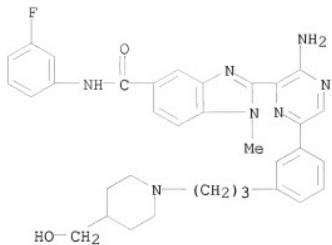
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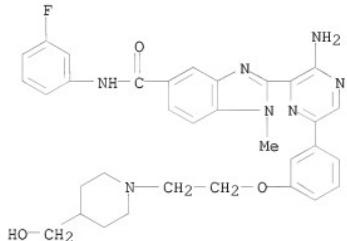
RN 1015731-20-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



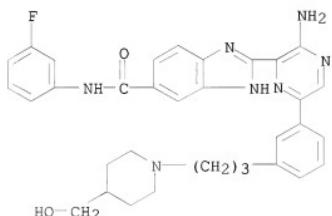
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CN 1H-Benzimidazole-5-carboxamide, 2-[3-amino-6-(3-[3-[4-(hydroxymethyl)-1-piperidinyl]propyl]phenyl)-2-pyrazinyl]-N-(3-fluorophenyl)-1-methyl- (CA INDEX NAME)



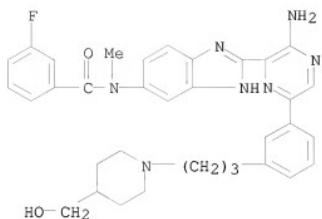
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CN INDEX NAME NOT YET ASSIGNED



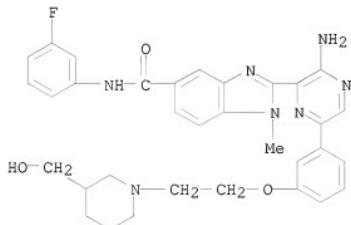
RN 1015731-43-7 CAPLUS
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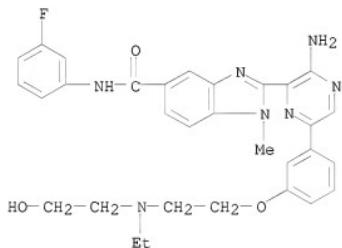
RN 1015731-51-7 CAPLUS
CN Benzamide, N-[2-[3-amino-6-[3-[3-[4-(hydroxymethyl)-1-piperidinyl]propyl]phenyl]-2-pyrazinyl]-1*H*-benzimidazol-6-yl]-3-fluoro-N-methyl- (CA INDEX NAME)



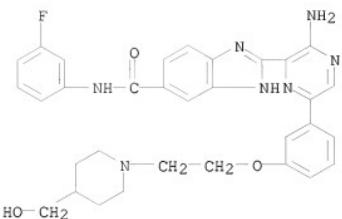
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CN INDEX NAME NOT YET ASSIGNED



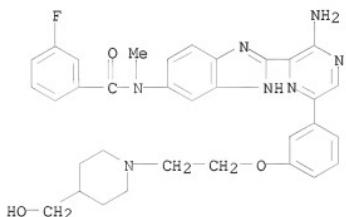
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CN INDEX NAME NOT YET ASSIGNED



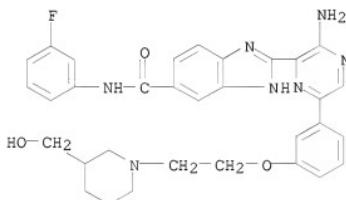
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CN INDEX NAME NOT YET ASSIGNED



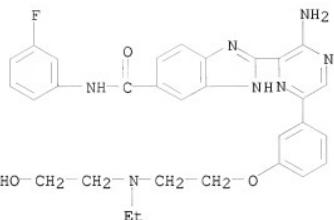
RN 1015731-81-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



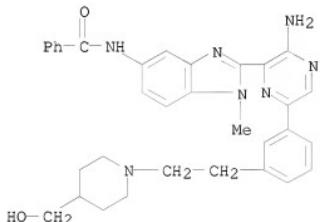
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CN INDEX NAME NOT YET ASSIGNED



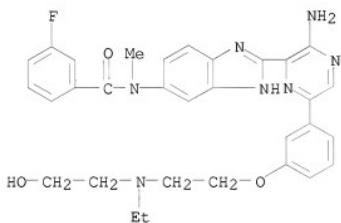
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CN INDEX NAME NOT YET ASSIGNED



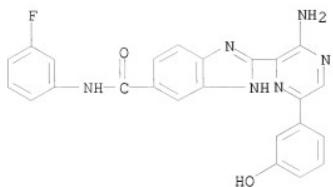
RN 1015732-03-2 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED



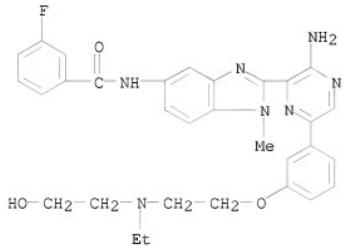
RN 1015732-10-1 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED



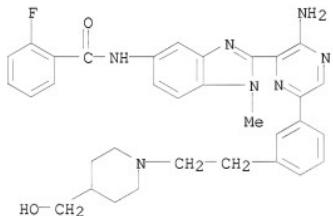
RN 1015732-18-9 CAPLUS
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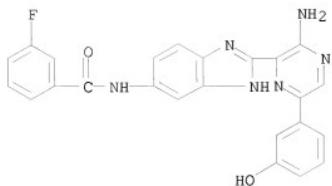
RN 1015732-26-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



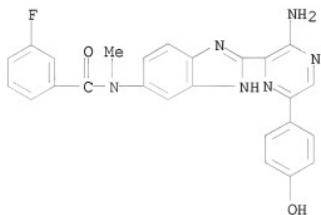
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CN INDEX NAME NOT YET ASSIGNED



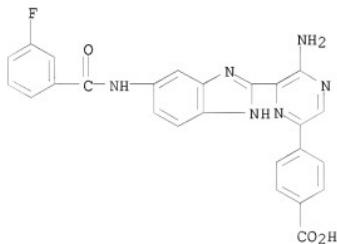
RN 1015732-41-8 CAPLUS
CN Benzamide, N-[2-[3-amino-6-(3-hydroxyphenyl)-2-pyrazinyl]-1H-benzimidazol-6-yl]-3-fluoro- (CA INDEX NAME)



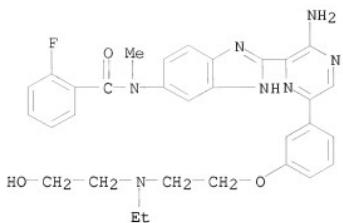
RN 1015732-49-6 CAPLUS
CN Benzamide, N-[2-{3-amino-6-(4-hydroxyphenyl)-2-pyrazinyl}-1H-benzimidazol-6-yl]-3-fluoro-N-methyl- (CA INDEX NAME)



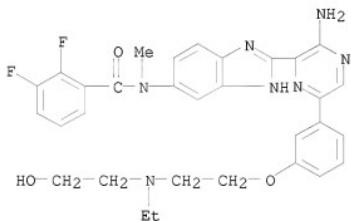
RN 1015732-57-6 CAPLUS
CN Benzoic acid, 4-[5-amino-6-[6-[(3-fluorobenzoyl)amino]-1H-benzimidazol-2-yl]-2-pyrazinyl]- (CA INDEX NAME)



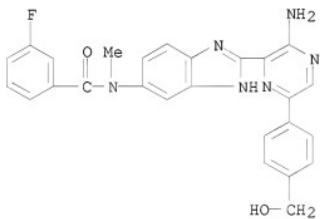
RN 1015732-65-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



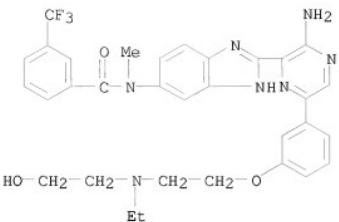
RN 1015732-73-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



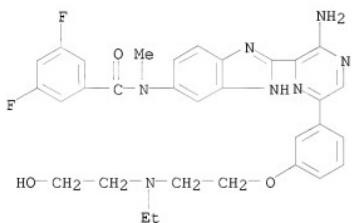
RN 1015732-80-5 CAPLUS
CN Benzamide, N-[2-[3-amino-6-[4-(hydroxymethyl)phenyl]-2-pyrazinyl]-1H-benzimidazol-6-yl]-3-fluoro-N-methyl- (CA INDEX NAME)



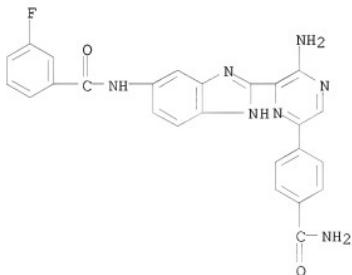
RN 1015732-87-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



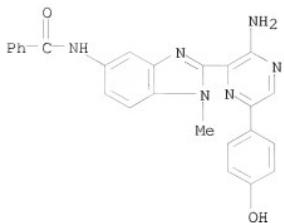
RN 1015732-95-2 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED



RN 1015733-03-5 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

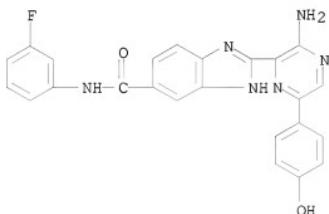


RN 1015733-10-4 CAPLUS
 CN Benzamide, N-[2-[3-amino-6-(4-hydroxyphenyl)-2-pyrazinyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 1015733-18-2 CAPLUS

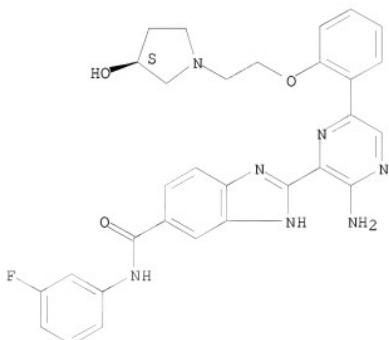
CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-(4-hydroxyphenyl)-2-pyrazinyl]-N-(3-fluorophenyl)- (CA INDEX NAME)



RN 1015733-26-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

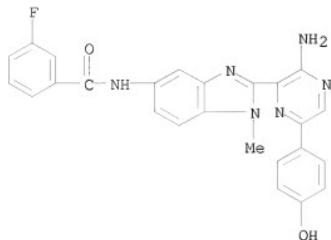
Absolute stereochemistry.



RN 1015733-34-2 CAPLUS

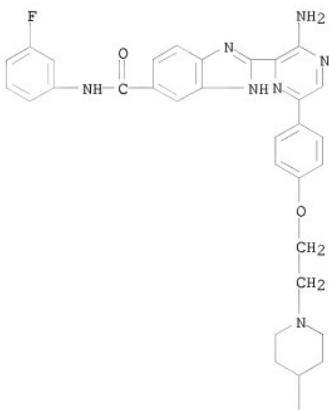
CN Benzamide, N-[2-[3-amino-6-(4-hydroxyphenyl)-2-pyrazinyl]-1-methyl-1H-

benzimidazol-5-yl]-3-fluoro- (CA INDEX NAME)



RN 1015733-42-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

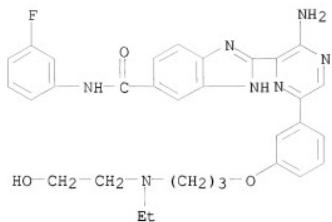
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PAGE 2-A

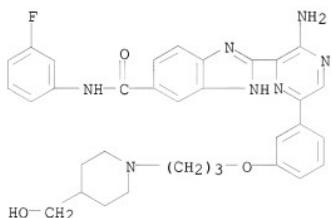


RN 1015733-57-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1015750-03-4 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-[3-[3-[4-(hydroxymethyl)-1-piperidinyl]propoxyl]phenyl]-2-pyrazinyl]-N-(3-fluorophenyl)- (CA INDEX NAME)



IT 1015728-71-8P, 2-[3-Amino-6-(3-formylphenyl)pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide

1015729-18-6P, 2-[3-Amino-6-[3-(2-hydroxyethyl)phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide

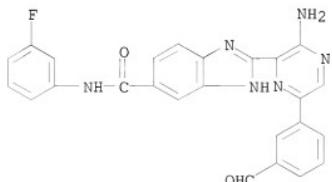
1015729-26-6P, 2-[3-Amino-6-[3-(2-oxoethyl)phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazine derivs. as Aurora kinase A and/or B inhibitors)

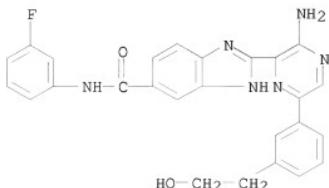
RN 1015728-71-8 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-(3-formylphenyl)-2-pyrazinyl]-N-(3-fluorophenyl)- (CA INDEX NAME)



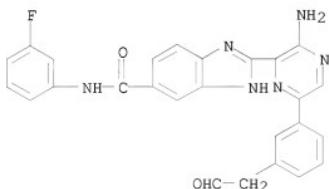
RN 1015729-18-6 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-[3-(2-hydroxyethyl)phenyl]-2-pyrazinyl]-N-(3-fluorophenyl)- (CA INDEX NAME)



RN 1015729-26-6 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-[3-(2-oxoethyl)phenyl]-2-pyrazinyl]-N-(3-fluorophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:558540 CAPLUS

DOCUMENT NUMBER: 145:62865

TITLE: Preparation of 1H-pyrrolo[2,3-b]pyridines as inhibitors of serum and glucocorticoid-regulated kinase 1 (SGK-1)

INVENTOR(S): Frazee, James S.; Hammond, Marlys; Kano, Kazuya; Manns, Sharada; Nakamura, Hiroko; Thompson, Scott Kevin; Washburn, David G.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

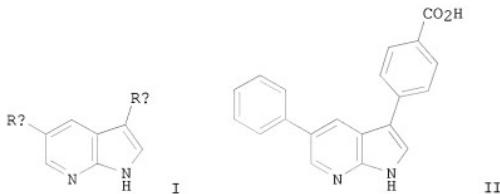
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2006063167 | A1 | 20060615 | WO 2005-U44485 | 20051208 |

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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,

MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
 SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
 VN, YU, ZA, ZM, ZW
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 KG, KZ, MD, RU, TJ, TM
 EP 1828180 A1 20070905 EP 2005-853413 20051208
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 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR
 PRIORITY APPLN. INFO.: US 2004-634149P P 20041208
 WO 2005-US44485 W 20051208
 OTHER SOURCE(S): MARPAT 145:62865
 GI



AB Title compds. I [wherein Ra, Rb = (un)substituted Ph, pyridinyl, thiophenyl, etc.] and pharmaceutically acceptable salts or solvates thereof were prepared as SGK-1 kinase inhibitors. For instance, successive coupling reaction of 5-bromo-1H-pyrrolo[2,3-b]pyridine with phenylboronic acid (99%), bromination in the 3-position of the pyrrolopyridine ring with Br2, N-protection with TsCl (68% for two steps), coupling with 4-carboxyphenylboronic acid, and deprotection with NaOH (60%) gave benzoic acid II. I were found to have SGK-1 inhibitory activity with IC50 values of below 1.5 μ M in a FR assay. Therefore, I and their pharmaceutical compns. are useful for the treatment of diseases mediated by SGK-1, such as renal and cardiovascular disease.

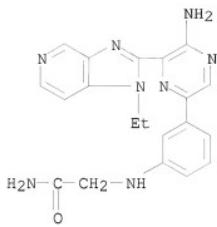
IT 890843-32-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of rhodamine-containing glycinamide as substrate in the enzymic assay of pyrrolopyridines as inhibitors of serum and glucocorticoid-regulated kinase 1 (SGK-1))

RN 890843-32-0 CAPLUS

CN Acetamide, 2-[{3-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]phenyl}amino]- (CA INDEX NAME)

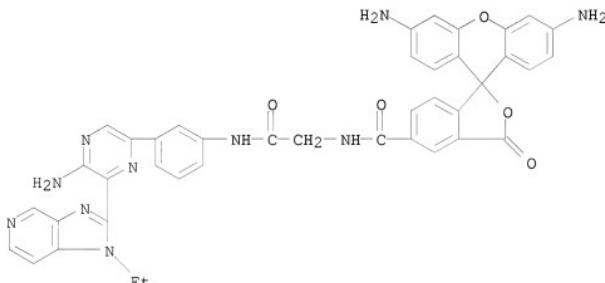


IT 890843-34-2P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);
BIOL (Biological study); PREP (Preparation)
(substrate; preparation of rhodamine-containing glycinamide as substrate in
the enzymic assay of pyrrolopyridines as inhibitors of serum and
glucocorticoid-regulated kinase 1 (SGK-1))

RN 890843-34-2 CAPLUS

CN Spiro[isobenzofuran-1(3H),9'-(9H)xanthene]-5-carboxamide,
3',6'-diamino-N-[2-[[3-[5-amino-6-(1-ethyl-1H-imidazo[4,5-clpyridin-2-yl)-
2-pyrazinyl]phenyl]amino]-2-oxoethyl]-3-oxo- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:817641 CAPLUS

DOCUMENT NUMBER: 141:332217

TITLE: Preparation of aminopyrazine derivatives as ROCK kinase inhibitors

INVENTOR(S): Alberti, Michael John; Drewry, David Harold; Miller, David Drysdale; Bamborough, Paul

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

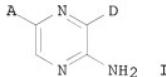
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2004084813 | A2 | 20041007 | WO 2004-US8301 | 20040318 |
| WO 2004084813 | A3 | 20050217 | | |
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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
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SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
TD, TG | | | | |
| EP 1606266 | A2 | 20051221 | EP 2004-757813 | 20040318 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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| JP 2006520794 | T | 20060914 | JP 2006-507319 | 20040318 |
| US 20060084651 | A1 | 20060420 | US 2005-549972 | 20050920 |
| PRIORITY APPLN. INFO.: | | | US 2003-456872P | P 20030321 |
| | | | WO 2004-US8301 | W 20040318 |

OTHER SOURCE(S): MARPAT 141:332217
GI

AB The title compds. [I; A = (hetero)aryl, alkenyl, CN, etc.; D = (un)substituted benzimidazolyl, imidazopyridinyl, etc.], useful in the treatment of diseases associated with inappropriate tyrosine and/or serine/threonine kinase activity, were prepared E.g., two alternate methods of preparing 3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-amine, were given. All 26 exemplified compds. I showed inhibitory activity vs. Rock-1 with pIC50 of 5.0 or greater.

IT 769967-87-5P, 3-(1-Ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-phenylpyrazin-2-amine 769967-88-6P, 3-(1-Ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-(3,4,5-trimethoxyphenyl)pyrazin-2-amine 769967-89-7P, 3-(1-Ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-(4-fluorophenyl)pyrazin-2-amine 769967-91-1P, 5-(4-Aminophenyl)-3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-amine 769967-95-5P, 3-(1H-Benzimidazol-2-yl)-5-(3-fluorophenyl)pyrazin-2-amine 769967-96-6P, 3-(1H-Benzimidazol-2-yl)-5-(4-fluorophenyl)pyrazin-2-amine 769967-97-7P, 4-[5-Amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-yl]-N,N-dimethylbenzenesulfonamide 769967-98-8P, 3-(1-Ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-(3-methylsulfonyl)phenyl]pyrazin-2-amine 769967-99-9P, 3-[4-[5-Amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-yl]phenyl]propanoic acid 769968-00-5P, [4-[5-Amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-yl]phenoxy]acetic acid 769968-01-6P, [3-[5-Amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-yl]phenoxyl]acetic acid 769968-02-7P 769968-03-8P, Benzyl 4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-yl]benzoate 769968-04-9P,

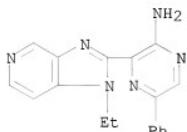
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769968-07-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminopyrazine derivs. as ROCK kinase inhibitors)

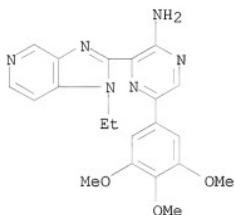
RN 769967-87-5 CAPLUS

CN 2-Pyrazinamine, 3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-phenyl- (CA INDEX NAME)



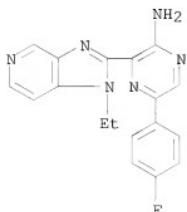
RN 769967-88-6 CAPLUS

CN 2-Pyrazinamine, 3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



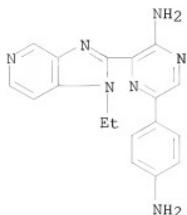
RN 769967-89-7 CAPLUS

CN 2-Pyrazinamine, 3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-(4-fluorophenyl)- (CA INDEX NAME)

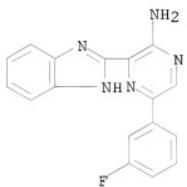


RN 769967-91-1 CAPLUS

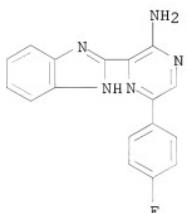
CN 2-Pyrazinamine, 5-(4-aminophenyl)-3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)- (CA INDEX NAME)



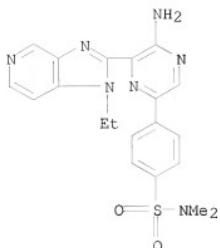
RN 769967-95-5 CAPLUS
CN 2-Pyrazinamine, 3-(1H-benzimidazol-2-yl)-5-(3-fluorophenyl)- (CA INDEX NAME)



RN 769967-96-6 CAPLUS
CN 2-Pyrazinamine, 3-(1H-benzimidazol-2-yl)-5-(4-fluorophenyl)- (CA INDEX NAME)

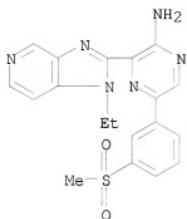


RN 769967-97-7 CAPLUS
CN Benzenesulfonamide, 4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]-N,N-dimethyl- (CA INDEX NAME)



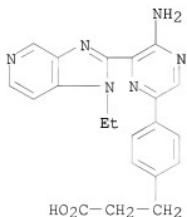
RN 769967-98-8 CAPLUS

CN 2-Pyrazinamine, 3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-[3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



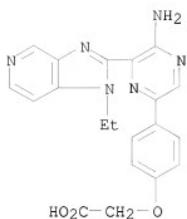
RN 769967-99-9 CAPLUS

CN Benzenepropanoic acid, 4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]- (CA INDEX NAME)

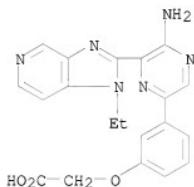


RN 769968-00-5 CAPLUS

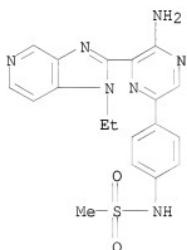
CN Acetic acid, 2-[4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]phenoxy]- (CA INDEX NAME)



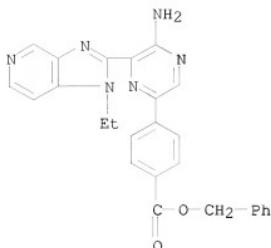
RN 769968-01-6 CAPLUS
CN Acetic acid, 2-[3-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]phenoxy]- (CA INDEX NAME)



RN 769968-02-7 CAPLUS
CN Methanesulfonamide, N-[4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]phenyl]- (CA INDEX NAME)

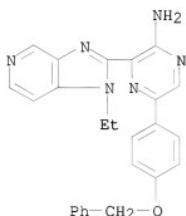


RN 769968-03-8 CAPLUS
CN Benzoic acid, 4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]-, phenylmethyl ester (CA INDEX NAME)



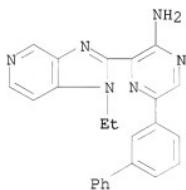
RN 769968-04-9 CAPLUS

CN 2-Pyrazinamine, 3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-[4-(phenylmethoxy)phenyl]- (CA INDEX NAME)



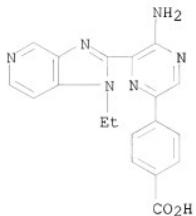
RN 769968-05-0 CAPLUS

CN 2-Pyrazinamine, 5-[1,1'-biphenyl]-3-yl-3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)- (CA INDEX NAME)

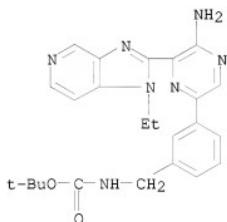


RN 769968-06-1 CAPLUS

CN Benzoic acid, 4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]- (CA INDEX NAME)



RN 769968-07-2 CAPLUS
CN Carbanic acid, [(3-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazinyl]phenyl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

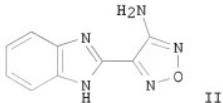
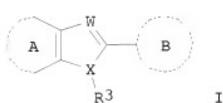


L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:633705 CAPLUS
DOCUMENT NUMBER: 139:180070
TITLE: Preparation of 2-(4-amino-1,2,5-oxadiazol-3-yl)benzimidazoles as inhibitors of GSK-3
INVENTOR(S): Harbeson, Scott L.; Arnost, Michael J.; Green, Jeremy
Savic, Vladimir
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2003066629 | A2 | 20030814 | WO 2003-US3655 | 20030206 |
| WO 2003066629 | A3 | 20031030 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MD, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY | | | | |

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
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 CA 2475633 A1 20030814 CA 2003-2475633 20030206
 AU 2003215087 A1 20030902 AU 2003-215087 20030206
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OTHER SOURCE(S): MARPAT 139:180070
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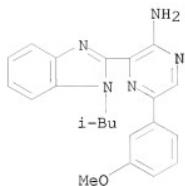
AB The title compds. [I; ring A = (un)substituted 5-7 membered (un)saturated ring having 0-3 heteroatoms, and wherein ring A is optionally fused to 5-8 membered ring having 0-3 heteroatoms; ring B = (un)substituted 5-6 membered ring having 0-4 heteroatoms; W = N, CR4; X = N, CH (wherein at least one of W and X = N); R3 = TCN, LR; T = a bond, alkylidene; L = a bond, alkylidene wherein up to two methylene units of L are replaced by O, S, CO, etc.; R4 = LR, halo, TN02, TCN; R = H, alkyl, aryl, etc.], useful as inhibitors of GSK-3 and Lck protein kinases (biol. data given) for treating and preventing various disorders, such as diabetes, Alzheimer's disease, and transplant rejection, were prepared Thus, reacting 1,2-phenylenediamine with Me 4-aminofurazan-3-carboximidate in the presence of AcOH in MeOH afforded 76% II. A pharmaceutical composition comprising the title compound I, was claimed.

IT 581081-40-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(4-amino-1,2,5-oxadiazol-3-yl)benzimidazoles as inhibitors of GSK-3)

RN 581081-40-5 CAPLUS

CN Pyrazinamine, 5-(3-methoxyphenyl)-3-[1-(2-methylpropyl)-1H-benzimidazol-2-yl]-(9CI) (CA INDEX NAME)



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| => log y | | | |
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL | |
| FULL ESTIMATED COST | ENTRY | SESSION | |
| | 27.56 | 206.59 | |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL | |
| CA SUBSCRIBER PRICE | ENTRY | SESSION | |
| | -3.20 | -3.20 | |

STN INTERNATIONAL LOGOFF AT 10:07:20 ON 12 MAY 2008